10/632,618

~~10/632,618~~

```
=> d his

     (FILE 'HOME' ENTERED AT 10:30:59 ON 28 FEB 2004)

     FILE 'REGISTRY' ENTERED AT 10:31:08 ON 28 FEB 2004
L1                STRUCTURE UPLOADED
L2              1 S L1
L3             34 S L1 SSS FULL
L4              6 S L3 AND C9 H15 N O2/MF
L5              3 S L3 AND C10 H14 N O2 . NA /MF
L6              9 S L4 OR L5

     FILE 'CAPLUS' ENTERED AT 10:35:17 ON 28 FEB 2004
L7             20 S L6
L8             11 S L7 AND ETHYL
L9             16 S L7 AND PATENT/DT

=> d l1
L1 HAS NO ANSWERS
L1                STR
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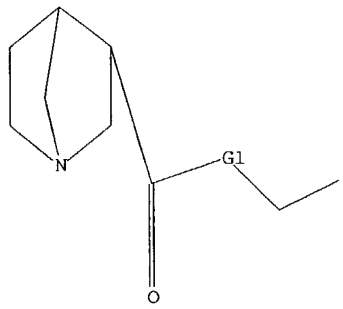
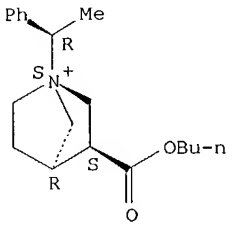


G1 C,O,S,N

10387318

L2 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(butoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1S-[1α(S*),3α,4β]]- (9CI)
MF C19 H28 N O2 . Br

Absolute stereochemistry.



● Br⁻

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full
FULL SEARCH INITIATED 10:31:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12115 TO ITERATE

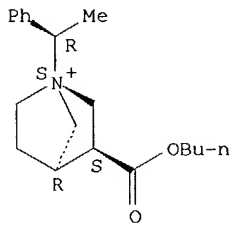
100.0% PROCESSED 12115 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

L3 34 SEA SSS FUL L1

=> d scan

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(butoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1S-[1α(S*),3α,4β]]- (9CI)
MF C19 H28 N O2 . Br

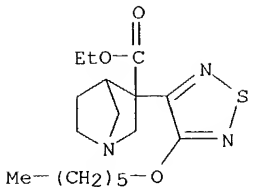
Absolute stereochemistry.



● Br⁻

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):33

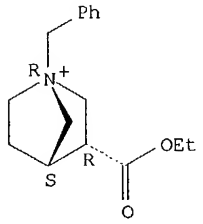
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, 3-[4-(hexyloxy)-1,2,5-thiadiazol-3-yl]-, ethyl ester (9CI)
MF C17 H27 N3 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT :

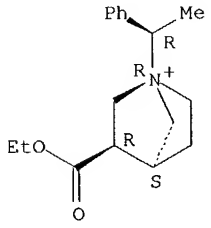
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(phenylmethyl)-, bromide, endo- (9CI)
MF C16 H22 N O2 . Br

Relative stereochemistry.



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1R-[1α(R*),3α,4β]]- (9CI)
MF C17 H24 N O2 . Br

Absolute stereochemistry.



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI)
MF C9 H15 N O2

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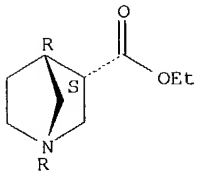
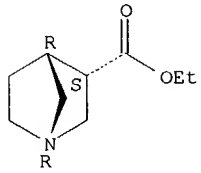
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

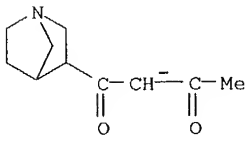
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, hydrobromide, (1R-endo)- (9CI)
MF C9 H15 N O2 . Br H

Absolute stereochemistry. Rotation (+).



● HBr

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1,3-Butanedione, 1-(1-azabicyclo[2.2.1]hept-3-yl)-, ion(1-), sodium (9CI)
MF C10 H14 N O2 . Na

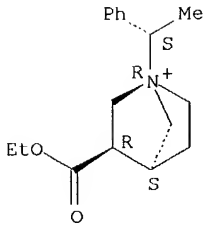


● Na^+

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1R-[1α(S*),3α,4β]]- (9CI)
MF C17 H24 N O2 . Br

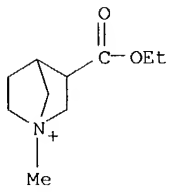
Absolute stereochemistry.

10387318



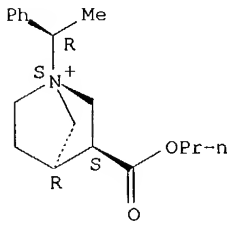
● Br^-

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-methyl- (9CI)
MF C10 H18 N O2



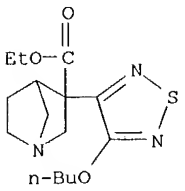
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 1-(1-phenylethyl)-3-(propoxycarbonyl)-, bromide, [1S-[1α(S*),3α,4β]]- (9CI)
MF C18 H26 N O2 . Br

Absolute stereochemistry.



● Br^-

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, 3-(4-butoxy-1,2,5-thiadiazol-3-yl)-, ethyl ester (9CI)
MF C15 H23 N3 O3 S

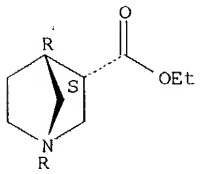


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

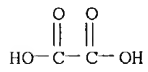
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R-endo)-, ethanedioate (1:1) (9CI)
MF C9 H15 N O2 . C2 H2 O4

CM 1

Absolute stereochemistry. Rotation (+).

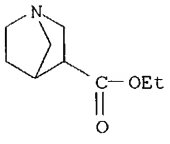


CM 2

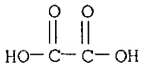


L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, ethanedioate (1:1) (9CI)
MF C9 H15 N O2 . C2 H2 O4

CM 1

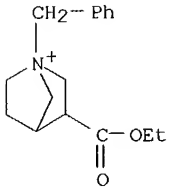


CM 2



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(phenylmethyl)-,

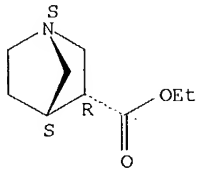
bromide (9CI)
MF C16 H22 N O2 . Br



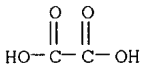
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S-endo)-, ethanedioate (1:1) (9CI)
MF C9 H15 N O2 . C2 H2 O4

CM 1

Absolute stereochemistry.

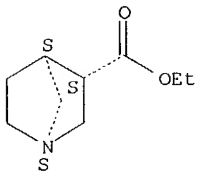


CM 2



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI)
MF C9 H15 N O2

Relative stereochemistry.



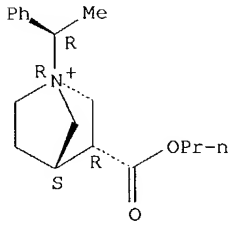
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 1-(1-phenylethyl)-3-(propoxycarbonyl)-, bromide, [1R-[1α(R*),3α,4β]]- (9CI)

10387318

MF C18 H26 N O2 . Br

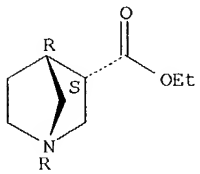
Absolute stereochemistry.



● Br^-

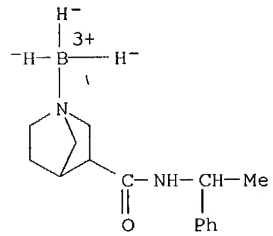
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, hydrochloride, (1R,3S,4R)- (9CI)
MF C9 H15 N O2 . Cl H

Absolute stereochemistry. Rotation (+).



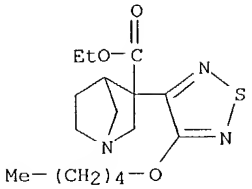
● HCl

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Boron, trihydro[N-(1-phenylethyl)-1-azabicyclo[2.2.1]heptane-3-carboxamide-N1]-, [T-4-[1S-[1α,3α(S*),4α]]]- (9CI)
MF C15 H23 B N2 O
CI CCS



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, 3-[4-(pentyloxy)-1,2,5-thiadiazol-3-yl]-, ethyl ester (9CI)
MF C16 H25 N3 O3 S

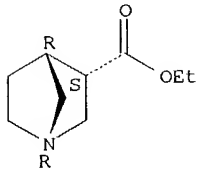
10387318



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

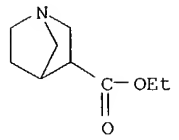
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R-endo)-
(9CI)
MF C9 H15 N O2
CI COM

Absolute stereochemistry. Rotation (+).



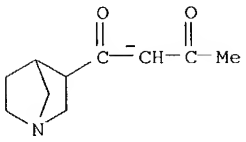
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, hydrobromide
(9CI)
MF C9 H15 N O2 . Br H



● HBr

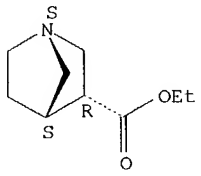
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1,3-Butanedione, 1-(1-azabicyclo[2.2.1]hept-3-yl)-, ion(1-), sodium, exo-
(9CI)
MF C10 H14 N O2 . Na



● Na^+

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S,3R,4S)-
(9CI)
MF C9 H15 N O2
CI COM

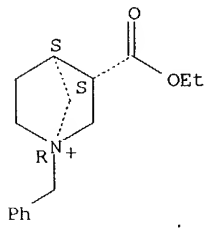
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(phenylmethyl)-,
bromide, exo- (9CI)
MF C16 H22 N O2 . Br

Relative stereochemistry.

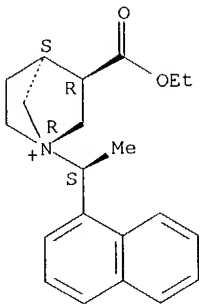


● Br⁻

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-[1-(1-
naphthalenyl)ethyl]-, bromide, [1R-[1α(S*),3α,4β]]- (9CI)
MF C21 H26 N O2 . Br

Absolute stereochemistry.

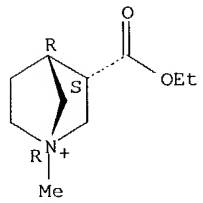
10387318



● Br^-

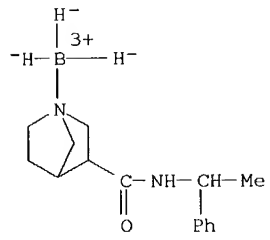
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-methyl-, bromide, (1R,3S,4R)-rel- (9CI)
MF C10 H18 N O2 . Br

Relative stereochemistry.



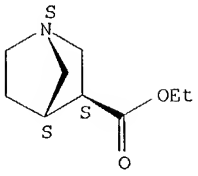
● Br^-

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Boron, trihydro[N-(1-phenylethyl)-1-azabicyclo[2.2.1]heptane-3-carboxamide-N1]-, [T-4-[1R-[1α,3α(R*),4α]]]- (9CI)
MF C15 H23 B N2 O
CI CCS



L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S-exo)- (9CI)
MF C9 H15 N O2

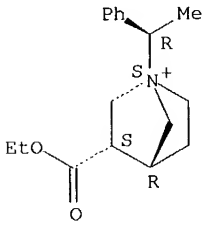
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1S-[1α(S*),3α,4β]]- (9CI)
MF C17 H24 N O2 . Br

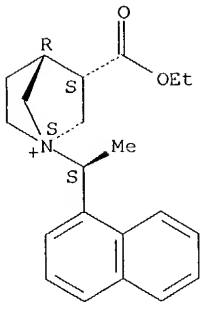
Absolute stereochemistry.



● Br^-

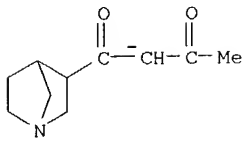
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-[1-(1-naphthalenyl)ethyl]-, bromide, [1S-[1α(R*),3α,4β]]- (9CI)
MF C21 H26 N O2 . Br

Absolute stereochemistry.



● Br^-

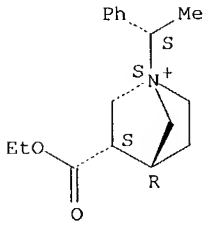
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1,3-Butanedione, 1-(1-azabicyclo[2.2.1]hept-3-yl)-, ion(1-), sodium, endo- (9CI)
MF C10 H14 N O2 . Na



● Na^+

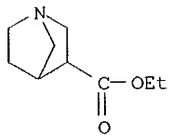
L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azoniabicyclo[2.2.1]heptane, 3-(ethoxycarbonyl)-1-(1-phenylethyl)-, bromide, [1S-[1α(R*),3α,4β]]- (9CI)
MF C17 H24 N O2 . Br

Absolute stereochemistry.



● Br^-

L3 34 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester (9CI)
MF C9 H15 N O2
CI COM



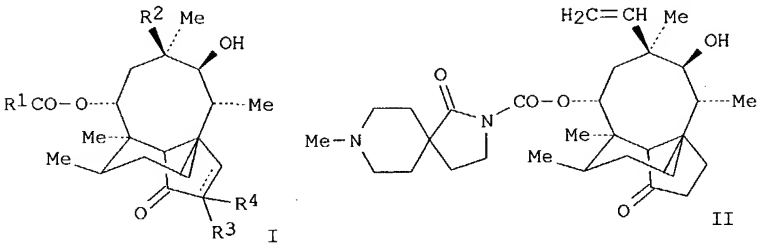
10387318

=> d 1-16 bib abs hitstr

L9 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:335361 CAPLUS
DN 132:334647
TI Preparation of mutilin compounds as antibacterial agents
IN Dabbs, Steven; Davies, Susannah; Dean, David Kenneth; Frydrych, Colin Henry; Gaiba, Alessandra; Howard, Steven; Hunt, Eric; King, Francis David; Naylor, Antoinette; Takle, Andrew Kenneth
PA SmithKline Beecham P.L.C., UK
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000027790	A1	20000518	WO 1999-EP8705	19991109
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	GB 1998-24781	A	19981111		
	GB 1998-27830	A	19981217		
	GB 1998-27880	A	19981217		

OS MARPAT 132:334647
GI

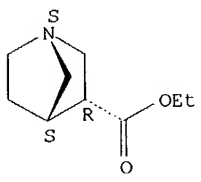


AB Mutilin compds. of formula I [R1 = RA(CH2)nO(CH2)m, RA(CH2)p, spiro-fused mono- or bi-cyclic ring containing one or two basic nitrogen atoms, etc.; RA = aryl, heteroaryl; n = 0-2; m = 1-3; p = 1-4; R2 = vinyl, Et; R3 = H, OH, F; R4 = H, F] are prepared for treating microbial infections in animals, especially in humans and in domesticated mammals. Thus, II is prepared from Et piperidine-4-carboxylate and (3R)-3-deoxo-11-deoxy-3-methoxy-11-oxo-4-epimutilin 14-chloroformate in several steps. The compds. prepared were tested for antibacterial activity and found to have MICs in the range of 0.06-32 μg/mL against Staph Aureus Oxford and 0.06-64 μg/mL against Strep Pneumoniae.

IT **134234-17-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of mutilin compds. as antibacterial agents)

RN 134234-17-6 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S,3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

10387318

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:117015 CAPLUS
DN 132:151996
TI Preparation of 2-fluoromutilin derivatives for use in treating microbial infections
IN Brooks, Gerald; Hunt, Eric
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

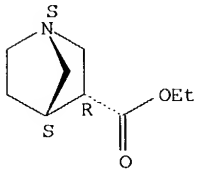
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007974	A1	20000217	WO 1999-GB2575	19990805
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2002522409	T2	20020723	JP 2000-563609	19990805
PRAI	GB 1998-17029	A	19980805		
	WO 1999-GB2575	W	19990805		

OS CASREACT 132:151996; MARPAT 132:151996
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A 14-acyloxy derivative of mutilin or 19,20-dihydromutilin having a 2-fluoro substituent with structure I [R1 = vinyl, Et; RaCO2- is an acyloxy group, HOCH2CO2, RX1CH2CO2, R2(CH2)mX2(CH2)nCH2CO2, carbamoyl; X1 = O, S, NR'; X2 = O, S, SO, SO2, NH, CONH, CH2, bond; R, R' = alkyl aryl; R2 = nonarom. monocyclic or bicyclic amine] is described. Thus II was prepared from mutilin (III) via fluorination of 2-diazomutilin 11-formate (IV) followed by acylation with (3R,4S)-1-azabicyclo[2.2.1]heptane-3-carboxylic acid hydrochloride. Compds. I are useful for treating microbial infections in animals, especially in humans and in domesticated mammals.
IT **134234-17-6**, Ethyl (3R,4S)-1-azabicyclo[2.2.1]heptane-3-carboxylate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-fluoromutilin derivs. for use in treating microbial infections)
RN 134234-17-6 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S,3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



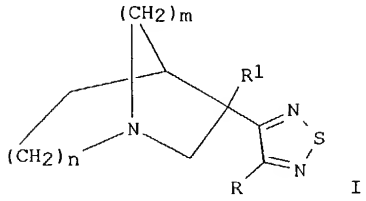
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:794986 CAPLUS
DN 130:38383
TI Preparation of azabicycloalkylthiadiazoles as muscarinic agonists
IN Merritt, Leander; Ward, John Stanley
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

10387318

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854151	A1	19981203	WO 1998-US10756	19980527
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9876971	A1	19981230	AU 1998-76971	19980527
	EP 1098883	A1	20010516	EP 1998-924911	19980527
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
PRAI	US 1997-47870P	P	19970529		
	WO 1998-US10756	W	19980527		
OS	MARPAT 130:38383				

GI



I

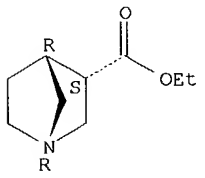
AB Thiadiazoles I [n = 0-3; m = 1-3; R = halogen, alkyl, alkoxy, alkylthio, amino, (un)substituted aryl; R1 = CO2H, alkoxycarbonyl, CN] were prepared from the azabicycloalkane and the thiadiazole fragment and were decarboxylated to I [R1 = H]. The products have muscarinic activity (no data). Thus, 1-pentanol was treated with cyanogen and sulfur monochloride to give 3-chloro-4-pentyloxy-1,2,5-thiadiazole, which was converted to the methylthio derivative, and oxidized to the methylsulfonyl derivative This compound was treated with endo-3-ethoxycarbonyl-1-azabicyclo[2.2.1]heptane to give I [R = O(CH2)4Me, R1 = CO2Et, n = 2, m = 1] which was decarboxylated with concentrate HCl to give I [R = O(CH2)4Me, R1 = H, n = 2, m = 1].

IT **133366-43-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of muscarinic azabicycloalkylthiadiazoles)

RN 133366-43-5 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:112360 CAPLUS

DN 128:154256

TI Preparation of azabicyclic carbamoyloxy mutilin derivatives for antibacterial use

IN Takle, Andrew Kenneth; Hunt, Eric; Naylor, Antoinette

PA Smithkline Beecham Plc, UK; Takle, Andrew Kenneth; Hunt, Eric; Naylor, Antoinette

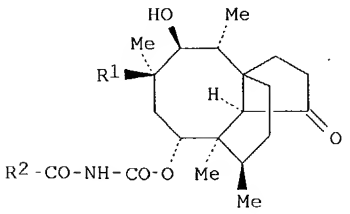
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2

10387318

DT **Patent**
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9805659	A1	19980212	WO 1997-EP4166	19970729
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	WO 9725309	A1	19970717	WO 1996-EP5874	19961219
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AP 872	A	20000928	AP 1997-1047	19970721
	W: BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW				
	AU 9742036	A1	19980225	AU 1997-42036	19970729
	EP 934316	A1	19990811	EP 1997-940050	19970729
	EP 934316	B1	20021016		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	BR 9711008	A	19990817	BR 1997-11008	19970729
	NZ 333926	A	20000526	NZ 1997-333926	19970729
	JP 2000515532	T2	20001121	JP 1998-507584	19970729
	AT 226203	E	20021115	AT 1997-940050	19970729
	ZA 9706817	A	19990201	ZA 1997-6817	19970731
	US 6121281	A	20000919	US 1999-230715	19990129
	NO 9900463	A	19990201	NO 1999-463	19990201
PRAI	GB 1996-16305	A	19960802		
	WO 1996-EP5874	A	19961219		
	GB 1997-12963	A	19970619		
	GB 1996-48	A	19960103		
	WO 1997-EP4166	W	19970729		

OS MARPAT 128:154256
GI



I

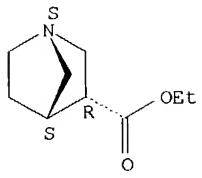
AB Mutilin carbamates I [R1 = Et, CH:CH2; R2 = azabicyclyl, azabicyclyl with a CH2 or :CH connecting group] were prepd for use in the prevention and treatment of microbial infections (no data). Thus, I {R1 = Et, R2 = 1-azabicyclo[2.2.2]octan-4-yl} starting from quinuclidine-4-carboxylic acid hydrochloride and (3R)-3-Deoxo-11-deoxy-3-methoxy-11-oxo-4-epimutilin.

IT **134234-17-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azabicyclic carbamoyloxy mutilin derivs. for antibacterial use)

RN 134234-17-6 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S,3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10387318

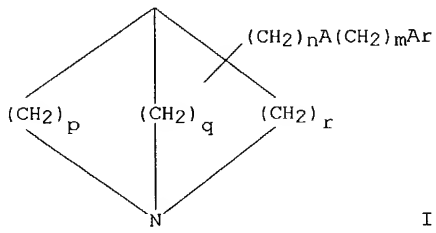


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:8475 CAPLUS
DN 120:8475
TI Azabicyclic compounds as calcium channel antagonists and their preparation
IN Orlek, Barry Sidney; Brown, Thomas Henry; Cooper, David Gwyn
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9315073	A1	19930805	WO 1993-GB175	19930127
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	ZA 9300550	A	19940726	ZA 1993-550	19930126
	AU 9333646	A1	19930901	AU 1993-33646	19930127
	EP 625979	A1	19941130	EP 1993-902471	19930127
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 07503463	T2	19950413	JP 1993-513049	19930127
PRAI	GB 1992-1749		19920128		
	WO 1993-GB175		19930127		

OS MARPAT 120:8475
GI



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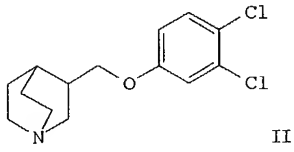


II

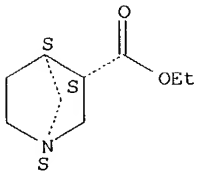
AB Azabicyclic compds. I [p, q, r = 1-4; A = bond, CH:CH, C.tplbond.C, O, S, NR1; R1 = H, alkyl, phenylalkyl; n, m = 0-6 such that length of (CH2)nA(CH2)m ≥ 2 atoms; Ar = (un)substituted aryl or heteroaryl] and pharmaceutically acceptable salts, some of which are novel, are useful for treatment of disorders where a Ca channel antagonist is indicated, especially anoxia, ischemia, migraine, epilepsy, traumatic head injury, drug addiction withdrawal, and AIDS-related dementia. For example, (±)-Me 1-azabicyclo[2.2.2]octane-3-carboxylate was reduced by LiAlH4 to its 3-hydroxymethyl analog, which was etherified with 3,4-dichlorophenol using EtO2CN:NCO2Et and PPh3 in THF to give, after chromatog. and acidification, (dichlorophenoxymethyl) compound (±)-II as the HCl salt. At 20 nM in an experiment on rat dorsal root ganglion neurons in vitro, I gave 28-99% inhibition of plateau Ca2+ current. Prepns. of 33 I.HCl and approx. 15 precursors, and 3 standard formulations are described; claims include use of I, novel I, their preparation and pharmaceutical compns., and 33 specific free bases of I.

IT **115594-72-4P 133366-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(hydride reduction in preparation of calcium channel antagonists)

10387318

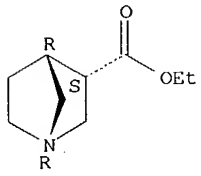
RN 115594-72-4 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 133366-43-5 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI) (CA INDEX NAME)

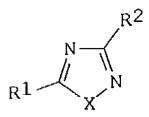
Relative stereochemistry.



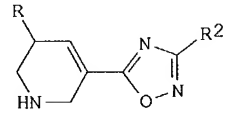
L9 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:128932 CAPLUS
DN 116:128932
TI Preparation of (azacycloalkyl)oxadiazoles and -thiadiazoles for treatment of glaucoma
IN Showell, Graham; Lotti, Victor
PA Merck Sharp and Dohme Ltd., UK
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 459568	A2	19911204	EP 1991-201226	19910522
	EP 459568	A3	19920930		
	R: CH, DE, FR, GB, IT, LI, NL				
	CA 2043385	AA	19911201	CA 1991-2043385	19910528
	US 5134146	A	19920728	US 1991-706707	19910529
	JP 04235985	A2	19920825	JP 1991-130094	19910531
PRAI	GB 1990-12173		19900531		
	GB 1990-25661		19901126		

OS MARPAT 116:128932
GI



I



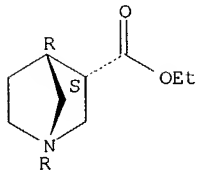
II

AB Title compds. [e.g. I; R1 = (unsatd.) (substituted) aza(bi)cycloalkyl; R2 = H, alkyl, alkenyl, OH, alkoxy, cyano, NH2, etc.; X = O, S] were prepared Thus, H2NC(:NOH)NHMe was cyclocondensed with Me 1-tert-butyloxycarbonyl-1,2,5,6-tetrahydropyridine-3-carboxylate to give, after deprotection, title compound II (R = H, R2 = NHMe). II.HCl (R = Me, R2 = NMe2) gave 6 mmHg reduction of intraocular pressure in monkeys at 25 μL 0.0005% solution

ocular instillation.

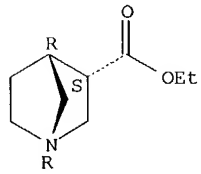
IT **133444-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiglaucoma agents)
RN 133444-97-0 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R-endo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **133366-43-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiglaucoma agents)
RN 133366-43-5 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

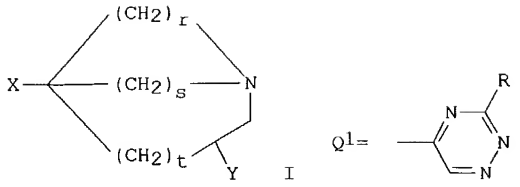


L9 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:21078 CAPLUS
DN 116:21078
TI Preparation of triazinylazabicycloalkanes as antidementia drugs
IN Orlek, Barry Sidney; Faulkner, Richard Eric
PA Beecham Group PLC, UK
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9113885	A1	19910919	WO 1991-GB367	19910307
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9175465	A1	19911010	AU 1991-75465	19910307
	JP 05505804	T2	19930826	JP 1991-506328	19910307
	EP 594605	A1	19940504	EP 1991-906519	19910307
	R: CH, DE, FR, GB, IT, LI, NL				
	ZA 9101804	A	19920226	ZA 1991-1804	19910312
	US 5324724	A	19940628	US 1992-927678	19920901
PRAI	GB 1990-5737		19900314		
	WO 1991-GB367		19910307		

OS MARPAT 116:21078
GI

10387318



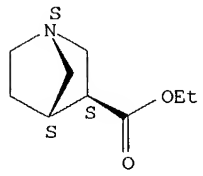
AB Title compds. [I; one of X, Y = H, the other = Q1; R = H, OR1, SR1, N(R1)2, NHCOR1, NHCO2Me, NHOR1, NHNH2, alkenyl, alkynyl, cyclopropyl, (substituted) alkyl; R1 = H, alkyl; r = 2, 3; s = 1, 2; t = 0, 1; when Y = H, s = 1], were prepared Thus, 5-(bromoacetyl)-1-azabicyclo[3.2.1]octane hydrobromide was stirred overnight at 30° in Me2SO and the residue after solvent removal in vacuo was heated at 125° for 4 min to give 2-oxo-2-(1-azabicyclo[3.2.1]oct-5-yl)ethanal.HBr. The latter was treated with acetamidrazone.HCl in MeOH/pyridine to give 5-(3-methyl-1,2,4-triazin-5-yl)-1-azabicyclo[3.2.1]octane. The latter inhibited binding of 3H-oxo-m with rat cerebral cortex prepns. with IC50 = 33 nM.

IT **137940-37-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

RN 137940-37-5 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1S-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:247284 CAPLUS

DN 114:247284

TI Preparation of triazolylazabicyclooctanes and analogs as drugs

IN Wadsworth, Harry John; Jenkins, Sarah Margaret

PA Beecham Group PLC, UK

SO Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW

DT **Patent**

LA English

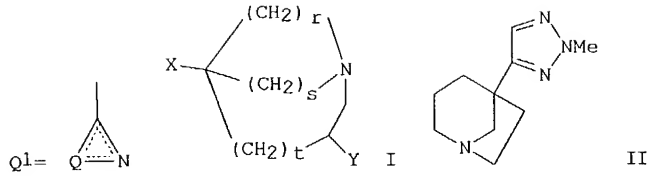
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 402056	A2	19901212	EP 1990-305979	19900531
	EP 402056	A3	19910904		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2018111	AA	19901206	CA 1990-2018111	19900604
	AU 9056253	A1	19901213	AU 1990-56253	19900604
	ZA 9004260	A	19910626	ZA 1990-4260	19900604
	US 5217975	A	19930608	US 1990-532937	19900604
	JP 03027377	A2	19910205	JP 1990-146404	19900606
	JP 2936280	B2	19990823		
PRAI	GB 1989-12991		19890606		
	GB 1989-28554		19891218		

OS MARPAT 114:247284

GI

10387318



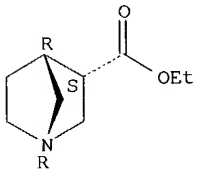
AB The title compds. I (one of X and Y is H and the other is Z; Z = Q1; Q = 3-membered divalent residue completing a 5-membered aromatic ring; r = 2 or 3; s = 1 or 2; t = 0 or 1, with the proviso that when Y is H, s = 1) were prepared A solution of 5-ethynyl-1-azabicyclo[3.2.1]octane in THF was treated with azidotrimethylsilane at 140° for 8 h. The reaction was then treated with MeOH and concentrated to give a gum which was then treated with diazomethane to give triazole (±)-II. In an in vitro test using rat cerebral cortex homogenate and 3H-quinuclidinyl benzilate, (±)-II exhibited IC50 of 3000 nM.

IT **133366-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drug for enhancing acetylcholine function)

RN 133366-43-5 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:207264 CAPLUS

DN 114:207264

TI Preparation of (3R,4R)-3-(cyclopropyl-1,2,4-oxadiazol-5-yl)-1-azabicyclo[2.2.1]heptane and its salts, tablet formulation and use for treatment of dementia

IN Showell, Graham Andrew; Street, Leslie Joseph

PA Merck Sharp and Dohme Ltd., UK

SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW

DT **Patent**

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 398629	A2	19901122	EP 1990-305199	19900515
	EP 398629	A3	19911211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2016708	AA	19901115	CA 1990-2016708	19900514
	AU 9055067	A1	19901115	AU 1990-55067	19900515
	NO 9002156	A	19901116	NO 1990-2156	19900515
	JP 03063280	A2	19910319	JP 1990-123241	19900515
	ZA 9003691	A	19910424	ZA 1990-3691	19900515
PRAI	GB 1989-11079		19890515		
	GB 1989-23015		19891012		

OS MARPAT 114:207264

GI

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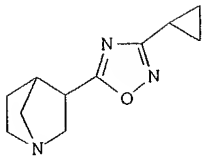


I

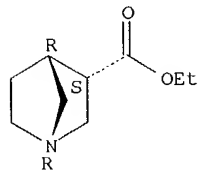
AB The title compound (I) was prepared by reacting the appropriate 1-azobicyclo[2.2.1]heptane-3-carboxylate or its salt with cyclopropylcarboxamide oxime or its salt, or by cyclizing 3-cyclopropyl-5-(pyrrolidin-3-yl-1-ethyl)-1,2,4-oxadiazole derivative Et 2-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)acetate (preparation given) reacted with (3R)-N-(tert-butoxycarbonyl)-3-mesyloxypyrolidine and diazabicyclo[5.4.0]undec-7-ene to give (2S,3'R)- and (2R,3'R)-alkylated esters to which was added NaBH4 to give the appropriate alcs. These were converted to mesylate esters and heated to 40° with F3CCO2H and aqueous Na2CO3 to give I and the (2S,4R)-isomer. The functional selectivity of I was determined A tablet formulation comprising I is given.

IT **133444-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to oxalate salt)

RN 133444-97-0 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R-endo)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L9 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:207033 CAPLUS
DN 114:207033
TI Preparation of azabicycloketone oximes and related compounds as muscarinic agonists
IN Orlek, Barry Sidney; Bromidge, Steven Mark; Dabbs, Steven
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 392803	A1	19901017	EP 1990-303852	19900410
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2014379	AA	19901013	CA 1990-2014379	19900411
	CA 2014379	C	20000208		
	AU 9053159	A1	19901018	AU 1990-53159	19900411
	AU 619969	B2	19920206		
	ZA 9002777	A	19910626	ZA 1990-2777	19900411
	JP 03007285	A2	19910114	JP 1990-96587	19900413
	US 5278170	A	19940111	US 1991-785884	19911030
	US 35593	E	19970819	US 1996-585113	19960111
	JP 09188678	A2	19970722	JP 1997-23113	19970123
	JP 2913466	B2	19990628		
	JP 09188679	A2	19970722	JP 1997-23114	19970123
	JP 2913467	B2	19990628		
PRAI	GB 1989-8365	A	19890413		
	GB 1989-23299	A	19891016		
	US 1990-508100	B1	19900411		
	JP 1990-96587	A3	19900413		
	US 1991-785884	A5	19911030		

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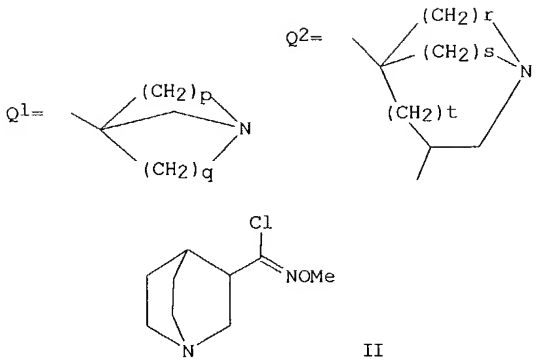
OS MARPAT 114:207033
GI



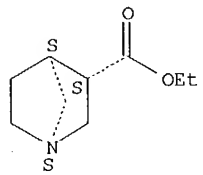
II

AB R1R3C:NR2 [I; R1 = Q1, Q2; R2 = OR4, amino, CO2R5; R3 = Cl, F, Br, cyclopropyl, haloalkyl, (CH2)nR9; R4 = alkyl, alkenyl, alkynyl; R5 = H, R4; R9 = cyano, OH, OMe, SH, SMe, C.tplbond.CH, CH:CH2; n, t = 0, 1; p, q, r = 2-4; s = 1, 2], were prepared Thus, a mixture of 3-quinuclidinone, tosylmethyl isocyanide, EtOH, and dimethoxyethane at 5-10° was treated with KOCMe3 and the mixture was kept at 40° for 2.5 h to give 74% 3-cyano-1-azabicyclo[2.2.2]octane. The latter was converted to 1-azabicyclo[2.2.2]oct-3-yl-N-methoxycarboxamide in several steps; this in turn was treated with POCl3 in nitromethane at -10° top give title compound II, isolated as the oxalate. I inhibited binding of 3H-oxotremorine-M to rat cerebral cortex prepns. with IC50 of 11.4-1000 nM.

IT **115594-72-4P 133366-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for muscarinic agonist)

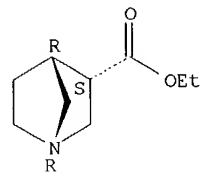
RN 115594-72-4 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 133366-43-5 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, (1R,3S,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

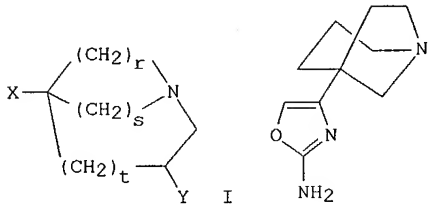


10387318

L9 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:631362 CAPLUS
DN 113:231362
TI Preparation of heterocyclyl-substituted (especially oxazolyl- and thiazolyl-substituted) azabicycloalkanes as muscarinic agents
IN Orlek, Barry Sidney; Faulkner, Richard Eric
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 366304	A2	19900502	EP 1989-310406	19891011
	EP 366304	A3	19910911		
	EP 366304	B1	19980415		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2000041	AA	19900413	CA 1989-2000041	19891002
	US 5166357	A	19921124	US 1989-418649	19891010
	DK 8905048	A	19900414	DK 1989-5048	19891011
	AU 8942782	A1	19900426	AU 1989-42782	19891011
	ZA 8907698	A	19900926	ZA 1989-7698	19891011
	AT 165095	E	19980515	AT 1989-310406	19891011
	JP 02134380	A2	19900523	JP 1989-264089	19891012
	JP 2934743	B2	19990816		
PRAI	GB 1988-24071		19881013		
	GB 1988-30223		19881223		
	GB 1989-20660		19890918		

OS MARPAT 113:231362
GI



I

II

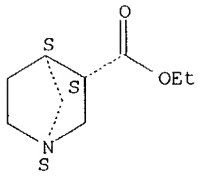
AB The title compds. I [1 of X, Y = H, other = 5-membered aromatic heterocyclyl, especially (substituted) 1,3-oxazol-4-yl, 1,3-oxazol-5-yl, 1,2-oxazol-3-yl, 1,3-thiazol-4-yl; r = 2, 3; s = 1, 2; t = 0, 1; s = 1 when Y = H] and salts were prepared as muscarinic agents useful in the treatment and/or prophylaxis of dementia. For example, cyclocondensation of 5-(α-bromoacetyl)-1-azabicyclo[3.2.1]octane-HBr (prepared in 5 steps) with urea in DMF at 160° gave 41% (aminooxazolyl)azabicyclooctane (±)-II. The IC50 values of (±)-II.HCl for displacement of the muscarinic agonist [3H]-oxotremorine M and the antagonist [3H]-quinuclidinyl benzylate from rat cerebral receptors were 250 and 42,000 nM, resp. Seventeen syntheses of I, prepns. of numerous precursors, and receptor binding for 13 I are given.

IT **115594-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of azolyl-substituted azabicycloalkane muscarinic agents)

RN 115594-72-4 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

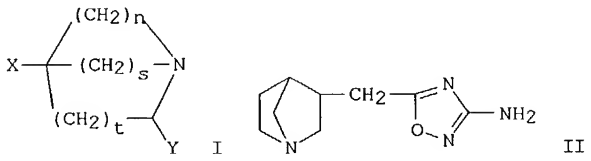
10387318



L9 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:552428 CAPLUS
DN 113:152428
TI Preparation of oxadiazolyl- and other heterocyclylazabicyoloalkanes enhancing acetylcholine function
IN Wadsworth, Harry John; Hadley, Michael Stewart; Wyman, Paul Adrian; Jenkins, Sarah Margaret
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 363085	A2	19900411	EP 1989-309920	19890928
	EP 363085	A3	19910327		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8907423	A	19900926	ZA 1989-7423	19890929
	US 5091397	A	19920225	US 1989-415123	19890929
	CA 2000042	AA	19900403	CA 1989-2000042	19891002
	DK 8904845	A	19900404	DK 1989-4845	19891002
	AU 8942426	A1	19900426	AU 1989-42426	19891002
	JP 02129186	A2	19900517	JP 1989-258652	19891003
	JP 2934742	B2	19990816		
PRAI	GB 1988-23142		19881003		
	GB 1989-20073		19890907		

OS MARPAT 113:152428
GI



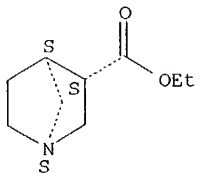
AB Title compds. I (one of X and Y is H and the other is substituted 1,2,4-oxadiazolylmethyl, 1,3-oxazololylmethyl, tetrazolylmethyl, 2-furfuryl, 1,3-thiazolylmethyl; r = 2, 3; s = 1, 2; t = 0,1) or a salt thereof, are prepared which show high affinity for muscarinic receptors, useful for dementia therapy. Na in EtOH was added to hyroxyguanidine sulfate followed by (±)-exo-3-(methoxycarbonylmethyl)-1-azabicylo[2.2.1]heptane to give the (±)-exo-oxadiazolyl II.

IT **115594-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, preparation of heterocyclyl derivs. for dementia therapy)

RN 115594-72-4 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

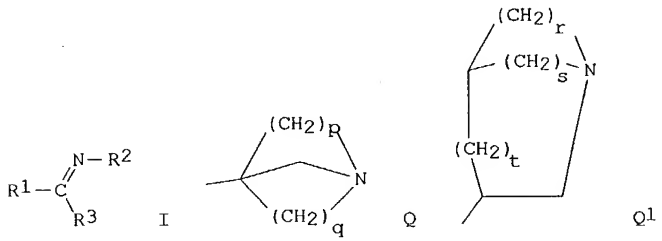
10387318

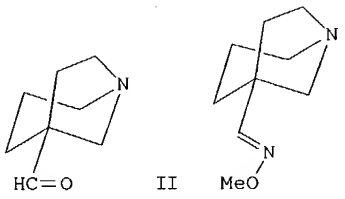


L9 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:552244 CAPLUS
DN 113:152244
TI Preparation of 1-azabicycloalkylimines as acetylcholine agonists
IN Bromidge, Steven Mark; Hadley, Michael Stewart; Orlek, Barry Sidney
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 338723	A1	19891025	EP 1989-303654	19890413
	EP 338723	B1	19930804		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8901778	A	19891016	DK 1989-1778	19890413
	AU 8933016	A1	19891026	AU 1989-33016	19890413
	ZA 8902709	A	19900328	ZA 1989-2709	19890413
	US 5110828	A	19920505	US 1989-337281	19890413
	AT 92490	E	19930815	AT 1989-303654	19890413
	JP 01316376	A2	19891221	JP 1989-93252	19890414
	JP 2917224	B2	19990712		
PRAI	GB 1988-8925		19880415		
	GB 1988-12602		19880527		
	GB 1988-24076		19881013		
	EP 1989-303654		19890413		

OS MARPAT 113:152244
GI





AB The title compds. [I; R1 = Q, Q1; R2 = OR4; R3 = H, alkyl; p,q,r = 2-4, s = 1,2; t = 0,1; R4 = alkyl, alkenyl, alkynyl, alkylamino], useful as acetylcholine agonists and therefore potentially useful for treatment and prevention of dementia, are prepared 1-Azabicyclo[3.2.1]octanecarboxaldehyde II (preparation given) was condensed with MeONH2.HCl in MeOH to give azabicyclooctylmethylimine III. In an in vitro study using cerebral cortex from rats III showed muscarinic binding activity with an IC50 of 73

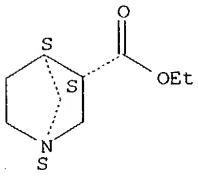
nM for the displacement of the muscarinic agonist 3H-oxotremorine-M.

IT **115594-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for acetylcholine agonists)

RN 115594-72-4 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:138925 CAPLUS

DN 112:138925

TI Preparation and testing of azabicyclic compounds as CNS agents.

IN Orlek, Barry Sidney; Wyman, Paul Adrian; Wadsworth, Harry John

PA Beecham Group PLC, UK

SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW

DT **Patent**

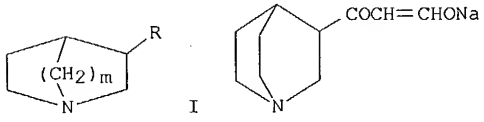
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 322182	A2	19890628	EP 1988-312038	19881219
	EP 322182	A3	19920102		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AU 8827075	A1	19890622	AU 1988-27075	19881220
	DK 8807092	A	19890623	DK 1988-7092	19881220
	ZA 8809478	A	19900829	ZA 1988-9478	19881220
	JP 01221378	A2	19890904	JP 1988-320811	19881221
	JP 2874878	B2	19990324		
	US 5541194	A	19960730	US 1995-369290	19950106
PRAI	GB 1987-29806		19871222		
	GB 1988-12603		19880527		
	GB 1988-24074		19881013		
	US 1988-287466		19881220		
	US 1990-500229		19900327		
	US 1992-880489		19920506		
	US 1993-72357		19930603		

OS MARPAT 112:138925

GI



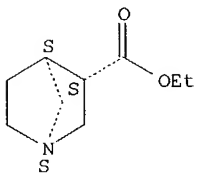
AB Azabicyclic compds. (I; R = furyl, oxazolyl, isoxazolyl, etc.; m = 1, 2) and related compds., effective acetylcholine enhancers useful in treating or preventing dementia in mammals, are prepared (±)-3-Acetylquinuclidine was treated with 80% NaH oil dispersion in MePh under N and HCO2Et in the presence of EtOH to give 87% enol salt (±)-II, which was treated with KHSO4 and H2SO4 in EtOH at pH 6 and then with H2NOSO3H at room temperature to give 44% (±)-I (R = 5-isoxazolyl, m = 2) whose oxalate salt showed IC50 of 477 nM and 15,500 nM against [3H]-oxotremorine-M and [3H]-quinuclidinyl benzilate, especially, in muscarinic binding assay. Addnl. 15 I were also prepared and tested.

IT **115594-72-4P 125761-80-0P 125761-81-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

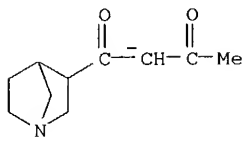
10387318

(Reactant or reagent)
(preparation and reaction of, in preparation of acetylcholine enhancers)
RN 115594-72-4 CAPLUS
CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

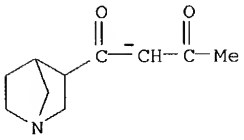


RN 125761-80-0 CAPLUS
CN 1,3-Butanedione, 1-(1-azabicyclo[2.2.1]hept-3-yl)-, ion(1-), sodium, endo- (9CI) (CA INDEX NAME)



● Na^+

RN 125761-81-1 CAPLUS
CN 1,3-Butanedione, 1-(1-azabicyclo[2.2.1]hept-3-yl)-, ion(1-), sodium, exo- (9CI) (CA INDEX NAME)



● Na^+

L9 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:473342 CAPLUS
DN 109:73342
TI 1-Azabicycloalkanes, procedure for their preparation, pharmaceutical compositions containing them, and their use for treatment of dementia
IN Orlek, Barry Sidney; Hadley, Michael Stewart; Wadsworth, Harry John; Rosenberg, Howard Elliott
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257741	A2	19880302	EP 1987-305585	19870623
	EP 257741	A3	19890906		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DK 8703243	A	19871228	DK 1987-3243	19870625
	AU 8774694	A1	19880107	AU 1987-74694	19870625

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	AU 599990	B2	19900802		
	JP 63039879	A2	19880220	JP 1987-159483	19870626
	ZA 8704626	A	19880831	ZA 1987-4626	19870626
	US 4870081	A	19890926	US 1987-67364	19870626
	AU 620307	B3	19911217	AU 1991-71318	19910222
PRAI	GB 1986-15785		19860627		

OS MARPAT 109:73342

GI For diagram(s), see printed CA Issue.

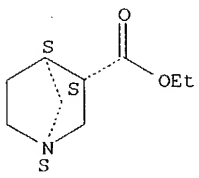
AB The title compds. [I; A = CH when double bond (dotted line) is present, CH2 or bond when it is absent; R = MeOCH2, EtOCH2, CO2R1, R2O; R1 = C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl; R2 = C1-3 alkyl, Ac, EtCO, CONH2, CONHMe, CONMe2; p = 2-4] and their pharmaceutically acceptable salts were prepared for the treatment and prophylaxis of dementia. I are in the exo stereochem. form, when the double bond is absent, with R and the methylene bridge on the same side of the plane defined by the bridgehead atoms and the C to which R is attached. 1-Azabicyclo[3.3.1]nonan-3-one was refluxed with Na in EtOH to give (±)-exo-1-azabicyclo[3.3.1]nonan-3-ol. The latter was esterified by refluxing in Ac2O to give, after acidification, (±)-exo-I.HCl (A = CH2, double bond absent, R = AcO, p = 3) (II). In rat cerebral cortex prepns. II displaced oxotremorine-M (OXO-M) and quinuclidinyl benzilate (QNB) with IC50 of 81 nM and 3900 nM, resp. Substances having a high ratio, IC50 QNB:IC50 OXO-M, exhibit muscarinic agonist activity, and are potentially useful in treatment of dementia.

IT **115594-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of dementia)

RN 115594-72-4 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:454780 CAPLUS

DN 109:54780

TI Preparation of oxadiazoles useful in the treatment of senile dementia

IN Baker, Raymond; Macleod, Angus Murray; Merchant, Kevin John; Saunders, John

PA Merck Sharp and Dohme Ltd., UK

SO Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 239309	A2	19870930	EP 1987-302296	19870318
	EP 239309	A3	19881130		
	EP 239309	B1	19940112		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	IL 81930	A1	19930221	IL 1987-81930	19870318
	AT 100100	E	19940115	AT 1987-302296	19870318
	ES 2061489	T3	19941216	ES 1987-302296	19870318
	US 5686463	A	19971111	US 1987-27989	19870319
	DK 8701542	A	19870928	DK 1987-1542	19870326
	FI 8701325	A	19870928	FI 1987-1325	19870326
	NO 8701277	A	19870928	NO 1987-1277	19870326
	NO 169439	B	19920316		
	NO 169439	C	19920624		
	AU 8770686	A1	19871001	AU 1987-70686	19870326
	AU 603564	B2	19901122		
	ZA 8702231	A	19871028	ZA 1987-2231	19870326
	HU 51617	A2	19900528	HU 1987-1324	19870326
	CN 87102972	A	19871118	CN 1987-102972	19870327

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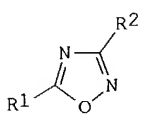
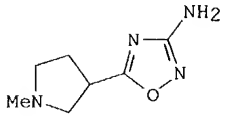
	JP 63017879	A2	19880125	JP 1987-71952	19870327
	JP 07084466	B4	19950913		
PRAI	GB 1986-7713		19860327		
	GB 1986-30896		19861224		
	EP 1987-302296		19870318		

GI



I



II

AB The title oxadiazoles, having 1 ring C substituted by a nonarom. azacyclic or azabicyclic ring and the other ring C bearing a substituent of low lipophilicity, such as I (R1 = pyrrolidinyl, quinuclidinyl, 1-azabicyclo[2.2.2]heptyl, optionally substituted with Me, OH; R2 = H, Me, CO2Me, CO2Et, NH2), were prepared as muscarinic receptor agonists, useful for treating senile dementia such as Alzheimer's disease. 1-Methyl-2-pyrrolidinone was treated with BuLi and acylated with (MeO)2CO, followed by reduction, to give Me 1-methyl-3-pyrrolidinecarboxylate. The latter was stirred with HONHC(:NH)NH2·H2SO4 in EtOH containing NaOEt and mol. sieves 4A to give I (R1 = 1-methyl-3-pyrrolidinyl, R2 = NH2) (II). All I demonstrated affinity for muscarinic receptors in rat cortical membrane prepns. with IC50 of «100 μM and elicited mouth movement response in rats, characteristic of centrally-active muscarinic agonists, at <10 mg/kg.

IT **114704-10-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of muscarinic receptor agonist)

RN 114704-10-8 CAPLUS

CN 1-Azabicyclo[2.2.1]heptane-3-carboxylic acid, ethyl ester (9CI) (CA INDEX NAME)